=> d ibib ab hitstr 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:935566 CAPLUS
DOCUMENT NUMBER: 136:53633
TITLE: Preparation of 7-phenyl-substituted tetracycline compounds and methods of treating tetracycline responsive states
INVENTOR(S): Nelson, Mark; Rennie, Glen
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

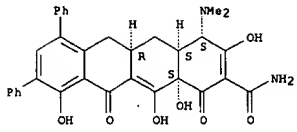
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098259	A1	20011227	WO 2000-US16632	20000616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-154701P P 19990914
OTHER SOURCE(S): MARPAT 136:53633
AB 7-Phenyl-substituted tetracycline compds., such as I [R4, R4' = alkyl; R5 = H, OH or prodrug moiety; R6, R6' = independently H, OH, alkyl, or taken together, alkenyl; R7 = (substituted)phenyl], and pharmaceutically acceptable salts thereof, are prepd. Thus, 7-phenylsancycline I (R4, R4' = Me; R5, R6, R6' = H; R7 = Ph) was produced from sancycline I (R4, R4' = Me; R5, R6, R6', R7 = H) through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with phenylboronic acid in a combined 42% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of I against common bacteria is described (no data). Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.
IT **330627-26-4P**, 7,9-Diphenylsancycline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states)
RN 330627-26-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:935545 CAPLUS
DOCUMENT NUMBER: 136:37446
TITLE: 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states
INVENTOR(S): Nelson, Mark; Ismail, Mohamed Y.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098236	A2	20011227	WO 2001-US19286	20010615
WO 2001098236	A3	20020328		

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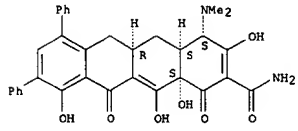
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-212030P P 20000616
US 2000-212471P P 20000616
OTHER SOURCE(S): MARPAT 136:37446
AB 7-Phenyl-substituted tetracycline compds. of formula I [R1, R2 = alkyl; R3 = H, OH or prodrug moiety; R4, R5 = independently H, OH, alkyl, or, taken together, alkenyl; R6 = (substituted)phenyl] which are substantially free of positional isomers, are prepd. Thus, 7-(3-nitrophenyl)sanclycine (II) was produced from sanclycine through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with 3-nitrophenylboronic acid in a combined 32% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of tetracycline compds. against common bacteria (no data) is described. Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.
IT **330627-26-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states)
RN 330627-26-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS (Continued)



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:208235 CAPLUS
DOCUMENT NUMBER: 134:252206
TITLE: Methods of preparing substituted tetracyclines with transition metal-based chemistries
INVENTOR(S): Nelson, Mark L.; Rennie, Glen; Koza, Darrell J.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019784	A1	20010322	WO 2000-US25040	20000913

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

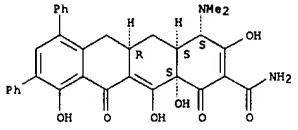
PRIORITY APPLN. INFO.: US 1999-154701P P 19990914
US 2000-232091P P 20000912
OTHER SOURCE(S): CASREACT 134:252206; MARPAT 134:252206

AB Substituted tetracyclinrderivs. were prepd. by combining a reactive tetracycline-based precursor and a reactive org. substituent precursor in the presence of a transition metal catalyst. In one embodiment of the invention, a substituted tetracycline compd. may be prepd. by combining a reactive tetracycline-based precursor compd. such as an arene tetracycline diazonium salt, and a reactive org. substituent precursor, e.g., alkenes, substituted alkenes, vinyl monomers, aroms. and heteroaroms., in the presence of a transition metal catalyst, such as palladium chloride, under conditions such that a tetracycline compd. substituted with the org. substituent is formed. Such compds. may optionally act as intermediates for making other compds., e.g., hydrogenation of unsatd. groups on the substituent. Thus, sancycline-HCl was treated with N-iodosuccinimide in concd. H2SO4 to give 61% 7-iodosancycline and 22% 7,9-diiodosancycline. 7-Iodosancycline was added to a degassed soln. of MeOH contg. Na2CO3 and Pd(OAc)2 and then 4-chlorophenylbroonic added to give 7-(4-chlorophenyl)sancycline (I). Antibacterial activity of several derivs. was tabulated.

IT **330627-26-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(methods of prepg. substituted tetracyclines with transition metal-based chemistries)

RN 330627-26-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d ibib ab hitstr
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MAX --------- ALL, plus Patent FAM, RE
PATS ----- PI, SO
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SCAN ----- CC, SX, TI, ST, IT, and FQHIT (random display,
           no answer numbers)
STD ------ BIB, IPC, and NCL (standard patent information)

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations


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           structures containing the query structure
FHIT ----- Fields containing the first hit text terms and the first
           Markush structures containing the query structure
QHIT ----- Fields containing query focus hit text terms and the
           Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
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codes.  For a list of the display field codes, enter "HELP DFIELDS"
at an arrow prompt (=>).  Examples of formats include: "TI";
"TI,MSTR,ABS"; "BIB,ST"; "TI,IND"; "TI,SO".  You may specify the
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All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may
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be used with the DISPLAY ACC command to display the record for a specified Accession Number.
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L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:252206 MARPAT
TITLE: Methods of preparing substituted tetracyclines with transition metal-based chemistries
INVENTOR(S): Nelson, Mark L.; Rennie, Glen; Koza, Darrell J.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019784	A1	20010322	WO 2000-US25040	20000913

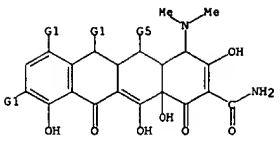
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-154701P 19990914
US 2000-232091P 20000912
OTHER SOURCE(S): CASREACT 134:252206

AB Substituted tetracyclinrderivs. were prepd. by combining a reactive tetracycline-based precursor and a reactive org. substituent precursor in the presence of a transition metal catalyst. In one embodiment of the invention, a substituted tetracycline compd. may be prepd. by combining a reactive tetracycline-based precursor compd. such as an arene tetracycline diazonium salt, and a reactive org. substituent precursor, e.g., alkenes, substituted alkenes, vinyl monomers, aroms. and heteroaroms., in the presence of a transition metal catalyst, such as palladium chloride, under conditions such that a tetracycline compd. substituted with the org. substituent is formed. Such compds. may optionally act as intermediates for making other compds., e.g., hydrogenation of unsatd. groups on the substituent. Thus, sancycline-HCl was treated with N-iodosuccinimide in concd. H2SO4 to give 61% 7-iodosancycline and 22% 7,9-diodosancycline. 7-Iodosancycline was added to a degassed soln. of MeOH contg. Na2CO3 and Pd(OAc)2 and then 4-chlorophenylbroonic added to give 7-(4-chlorophenyl)sancycline (I). Antibacterial activity of several derivs. was tabulated.

MSTR 1

L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = Ph
MPL: disclosure

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3             1 S L1 FULL

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     FILE 'MARPAT' ENTERED AT 09:19:05 ON 01 AUG 2002
L6             1 S L3 FULL

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L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:51420 CAPLUS
DOCUMENT NUMBER: 136:102232
TITLE: Preparation of 7-substituted tetracycline derivatives for pharmaceutical use as antibacterial agents
INVENTOR(S): Nelson, Mark L.; Frechette, Roger; Viski, Peter; Ismail, Mohamed; Bowser, Todd; Bhatia, Beena; Messersmith, David; McIntyre, Laura; Koza, Darrell; Rennie, Glen; Sheahan, Paul; Hawkins, Paul; Verma, Atul; Warchol, Tad; Bandarage, Upul
PATENT ASSIGNEE(S): Trustees of Tufts College, USA; Paratek Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004407	A2	20020117	WO 2001-US20766	20010629
WO 2002004407	A3	20020404		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-216760P P 20000707
US 2001-275576P P 20010313
OTHER SOURCE(S): MARPAT 136:102232

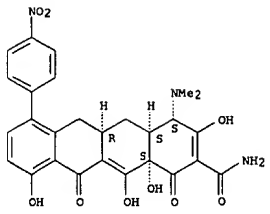
AB 7-Substituted tetracycline derivs., such as I [R7 = NO2, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, amino, arylalkenyl, arylalkynyl, aminoalkyl, etc.], were prepd. for therapeutic use as antibacterial agents. Thus, 7-phenylsancycline I (R7 = Ph) was prepd. in 42% yield by arom. coupling reaction of 7-iodosancycline I (R7 = iodo) with PhB(OH)2 using Pd(OAc)2 and Na2CO3 in MeOH under an argon atm. at r.t. for 2 h. The prepd. tetracycline derivs. were tested for antibacterial activity against Escherichia coli, Enterococcus hirae, and Staphylococcus aureus.

IT **263761-01-9P 389624-24-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 7-substituted tetracycline derivs. for pharmaceutical use as antibacterial agents)

RN 263761-01-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

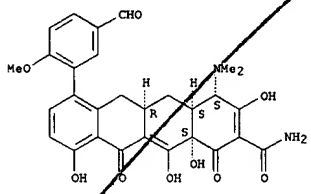
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-24-2 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(5-formyl-2-methoxyphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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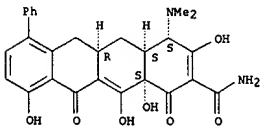
389624-98-0P 389624-99-1P 389625-02-9P
389625-04-1P 389625-05-2P 389625-07-4P

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **389625-08-5P 389625-09-6P 389625-10-9P**
389625-12-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7-substituted tetracycline derivs. for pharmaceutical use as antibacterial agents)

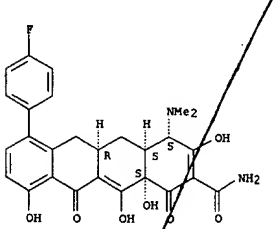
RN 263760-96-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263760-98-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

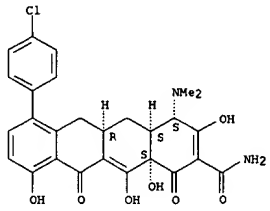
Absolute stereochemistry.



RN 263760-99-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

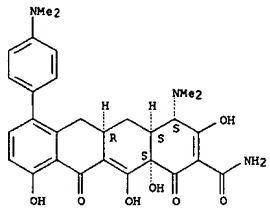
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 263761-02-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

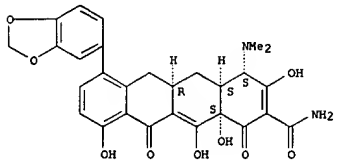
Absolute stereochemistry.



RN 374748-06-8 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(1,3-benzodioxol-5-yl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

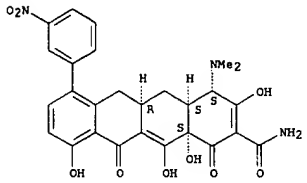
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-62-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

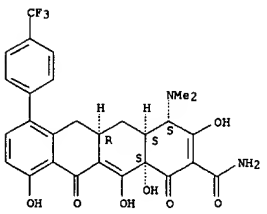
Absolute stereochemistry.



RN 380435-63-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

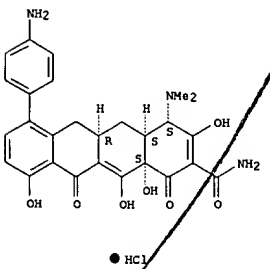
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-67-0 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, monohydrochloride, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

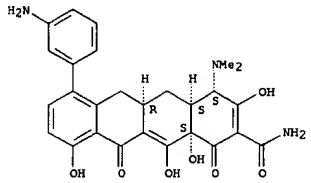
Absolute stereochemistry.



RN 389623-72-7 CAPLUS
CN Benzoic acid, 4-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-, methyl ester (9CI) (CA INDEX NAME)

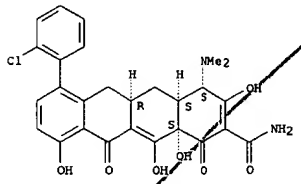
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-65-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

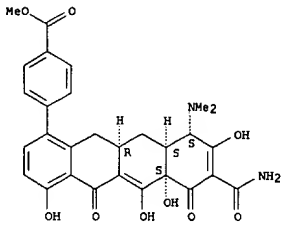
Absolute stereochemistry.



RN 380435-76-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trifluoromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

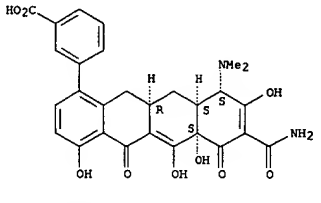
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-74-9 CAPLUS
CN Benzoic acid, 3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]- (9CI) (CA INDEX NAME)

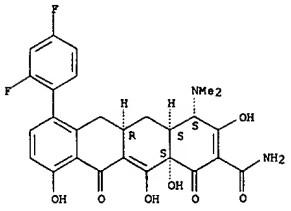
Absolute stereochemistry.



RN 389623-77-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2,4-difluorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

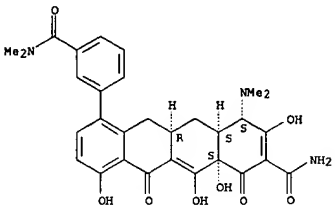
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-80-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[3-[(dimethylamino)carbonyl]phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

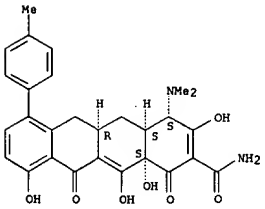
Absolute stereochemistry.



RN 389623-82-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(2-ethoxyphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

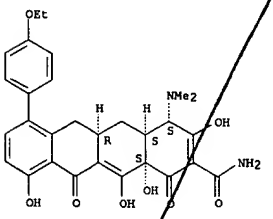
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-97-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-ethoxyphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

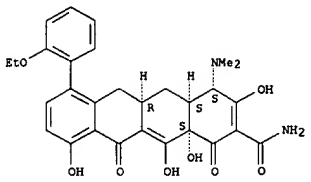
Absolute stereochemistry.



RN 389624-03-7 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-acetylphenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

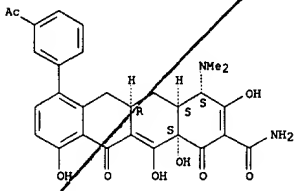
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389623-93-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-acetylphenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

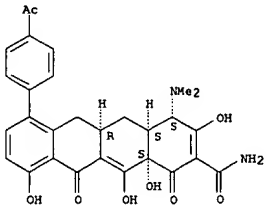
Absolute stereochemistry.



RN 389623-95-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-methylphenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

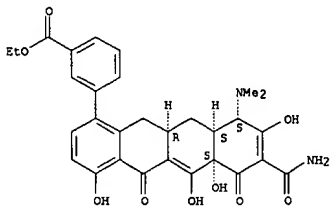
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-04-8 CAPLUS
CN Benzoic acid, 3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-, ethyl ester (9CI) (CA INDEX NAME)

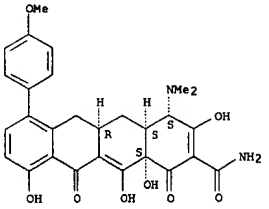
Absolute stereochemistry.



RN 389624-05-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-methoxyphenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

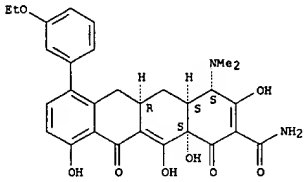
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-07-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(3-ethoxyphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

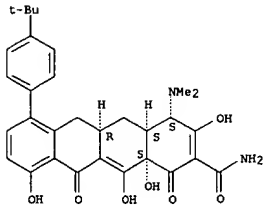
Absolute stereochemistry.



RN 389624-12-8 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2,5-dimethoxyphenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

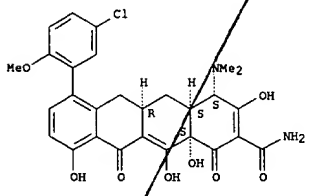
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-22-0 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(5-chloro-2-methoxyphenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

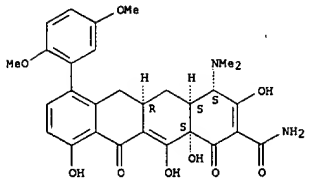
Absolute stereochemistry.



RN 389624-23-1 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-cyanophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

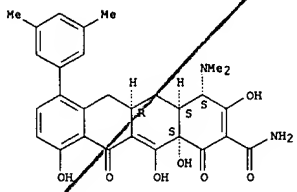
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-13-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(3,5-dimethylphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

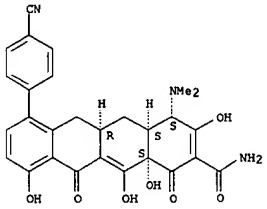
Absolute stereochemistry.



RN 389624-21-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(1,1-dimethylethyl)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

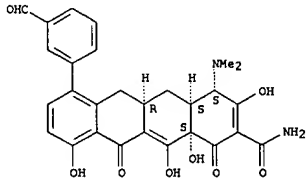
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-28-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(3-formylphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

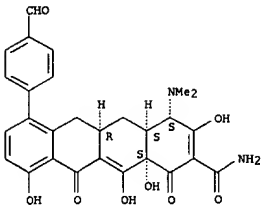
Absolute stereochemistry.



RN 389624-29-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-formylphenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

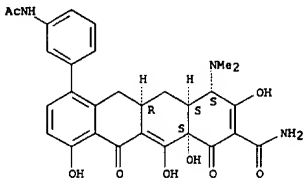
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-34-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[3-(acetylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

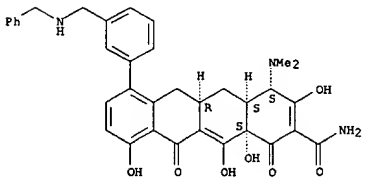
Absolute stereochemistry.



RN 389624-45-7 CAPLUS
CN Benzoic acid, 4-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]- (9CI) (CA INDEX NAME)

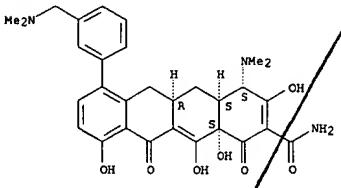
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



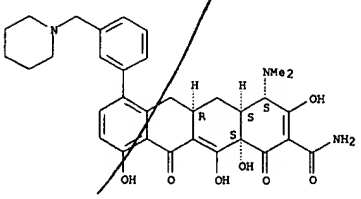
RN 389624-57-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[3-[(dimethylamino)methyl]phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



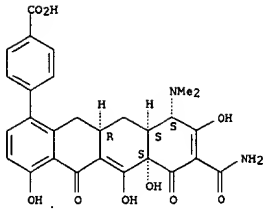
RN 389624-58-2 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-(1-piperidinylmethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



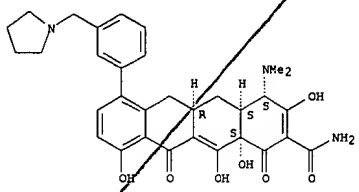
RN 389624-59-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[3-(4-morpholinylmethyl)phenyl]-1,11-dioxo-,

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-54-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-(1-pyrrolidinylmethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

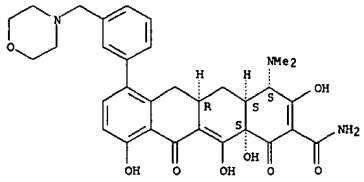


RN 389624-55-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[3-[[(phenylmethyl)amino]methyl]phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

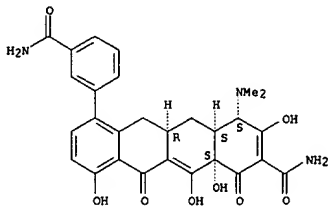
L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
(4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 389624-69-5 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[3-(aminocarbonyl)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

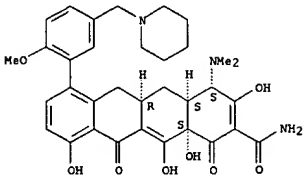
Absolute stereochemistry.



RN 389624-81-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[2-methoxy-5-(1-piperidinylmethyl)phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

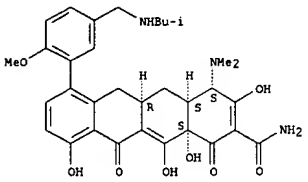
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-82-2 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[2-methoxy-5-[[(2-methylpropyl)amino]methyl]phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

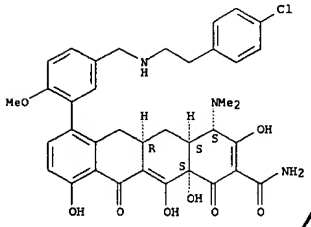
Absolute stereochemistry.



RN 389624-90-2 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[5-[[[(3-fluorophenyl)methyl]amino]methyl]-2-methoxyphenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

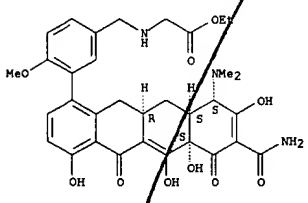
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-93-5 CAPLUS
CN Glycine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

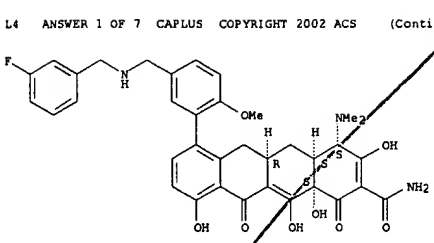
Absolute stereochemistry.



RN 389624-94-6 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[5-[[(3-butoxypropyl)amino]methyl]-2-methoxyphenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

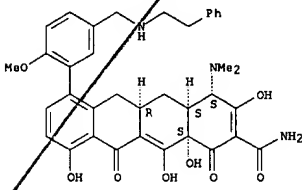
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-91-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[2-methoxy-5-[[(2-phenylethyl)amino]methyl]phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

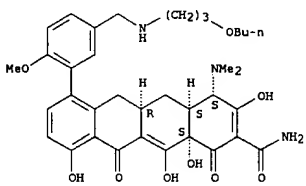
Absolute stereochemistry.



RN 389624-92-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[5-[[[2-(4-chlorophenyl)ethyl]amino]methyl]-2-methoxyphenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

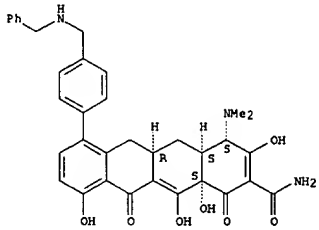
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-97-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-[[(phenylmethyl)amino]methyl]phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

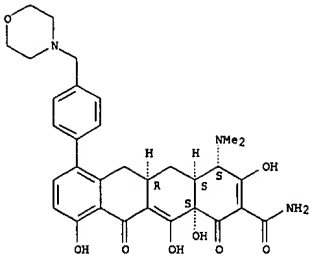
Absolute stereochemistry.



RN 389624-98-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[4-(4-morpholinylmethyl)phenyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

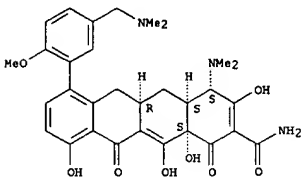
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389624-99-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[5-[(dimethylamino)methyl]-2-methoxyphenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

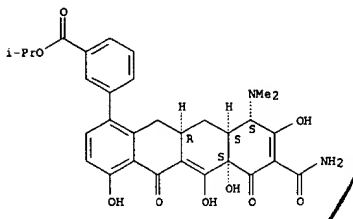
Absolute stereochemistry.



RN 389625-02-9 CAPLUS
CN Phosphoramidic acid, [[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]phenyl]methyl]pentyl-, diethyl ester (9CI) (CA INDEX NAME)

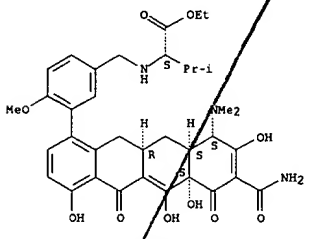
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-07-4 CAPLUS
CN L-Valine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

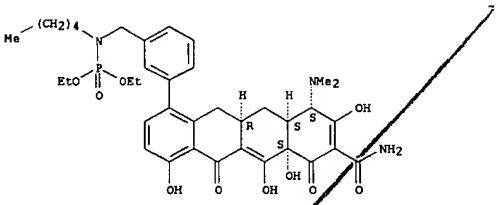
Absolute stereochemistry.



RN 389625-08-5 CAPLUS
CN L-Valine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

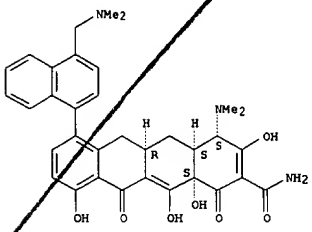
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-04-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-[(dimethylamino)methyl]-1-naphthalenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

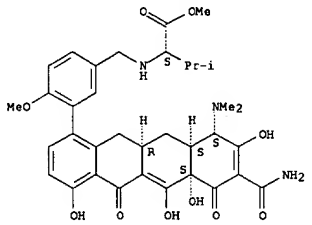
Absolute stereochemistry.



RN 389625-05-2 CAPLUS
CN Benzoic acid, 3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

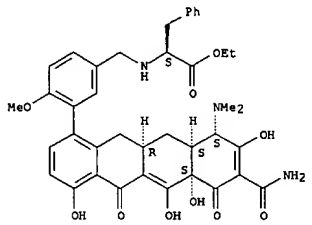
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-09-6 CAPLUS
CN L-Phenylalanine, N-[[3-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]-4-methoxyphenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

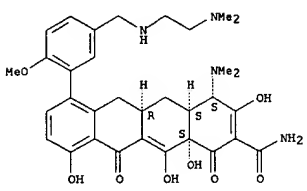
Absolute stereochemistry.



RN 389625-10-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[5-[[[2-(dimethylamino)ethyl]amino]methyl]-2-methoxyphenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

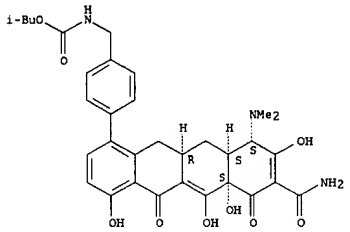
Absolute stereochemistry.

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 389625-12-1 CAPLUS
CN Carbamic acid, [[4-[(6aS,10S,10aS,11aR)-8-(aminocarbonyl)-10-(dimethylamino)-5,6a,7,10,10a,11,11a,12-octahydro-4,6,6a,9-tetrahydroxy-5,7-dioxo-1-naphthacenyl]phenyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:51417 CAPLUS
DOCUMENT NUMBER: 136:102229
TITLE: Preparation of 7,8 and 9-substituted tetracycline derivatives
INVENTOR(S): Nelson, Mark L.; Koza, Darrell
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004404	A2	20020117	WO 2001-US20558	20010629
WO 2002004404	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2002012170	A1	20020214	WO 2000-US21366	20000804

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PRIORITY APPLN. INFO.:	US 2000-216656P	P 20000707
	WO 2000-US21366	W 20000804

OTHER SOURCE(S): MARPAT 136:102229

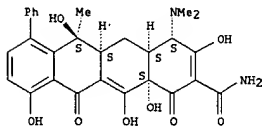
AB The 7,8 and 9-substituted tetracycline derivs. I (R1 = H, OH; R2, R3 = H, Me, OH; R4 = H, alkenyl, alkynyl, Ph, halophenyl, acyl, phenylalkynyl, heteroaryl, dimethylamino; R5 = H, Ph, nitrophenyl, halo, alkynyl; R6 = H, amino, acetamide, alkynyl; at least one of R4, R5, and R6 is not H) and their pharmaceutically acceptable salts were as antibacterial agents. Thus, tetracycline underwent iodination with NIS to give a mixt. of 7- and 9-iodotetracycline, of which the 7- isomer was treated AsPh3 in presence of Pd(PPh3)2Cl2 and CuI to give 7-phenyltetracycline. I were screened to detn. their in vitro antibacterial min. inhibitory concn. (no data).

IT **389570-44-9P 389570-48-3P 389570-51-8P 389570-52-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7,8 and 9-substituted tetracycline derivs. as antibacterial agents)

RN 389570-44-9 CAPLUS

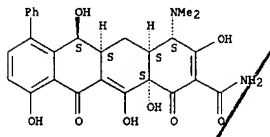
L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-7-phenyl-, (4S,4aS,5aS,6S,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



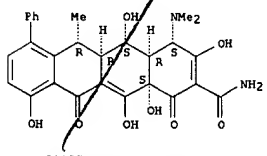
RN 389570-48-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aS,6S,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 389570-51-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-7-phenyl-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

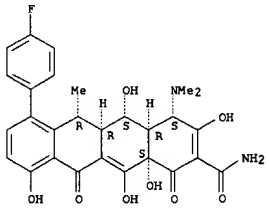
Absolute stereochemistry.



RN 389570-52-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

/Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



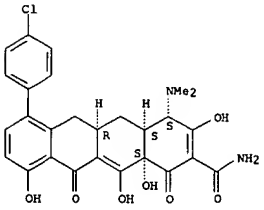
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:935566 CAPLUS
DOCUMENT NUMBER: 136:53633
TITLE: Preparation of 7-phenyl-substituted tetracycline compounds and methods of treating tetracycline responsive states
INVENTOR(S): Nelson, Mark; Rennie, Glen
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098259	A1	20011227	WO 2000-US16632	20000616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

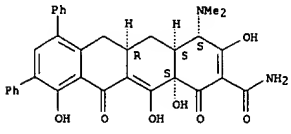
PRIORITY APPLN. INFO.: US 1999-154701P P 19990914
OTHER SOURCE(S): MARPAT 136:53633
AB 7-Phenyl-substituted tetracycline compds., such as I [R4, R4' = alkyl; R5 = H, OH or prodrug moiety; R6, R6' = independently H, OH, alkyl, or taken together, alkenyl; R7 = (substituted)phenyl], and pharmaceutically acceptable salts thereof, are prepd. Thus, 7-phenylsancycline I (R4, R4' = Me; R5, R6, R6' = H; R7 = Ph) was produced from sancycline I (R4, R4' = Me; R5, R6, R6', R7 = H) through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with phenylboronic acid in a combined 42% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of I against common bacteria is described (no data). Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.
IT **263760-96-9P**, 7-Phenylsancycline **263760-98-1P**, 7-(4-Fluorophenyl)sancycline **263760-99-2P**, 7-(4-Chlorophenyl)sancycline **330627-26-4P**, 7,9-Diphenylsancycline **380435-64-3P**, 7-(2-Fluorophenyl)sancycline **380435-65-4P**, 7-(2-Chlorophenyl)sancycline **380435-66-5P**, 7-(2-Bromophenyl)sancycline **380435-67-6P**, 7-(2-Iodophenyl)sancycline **380435-68-7P**, 7-(3-Fluorophenyl)sancycline **380435-69-8P**, 7-(3-Chlorophenyl)sancycline **380435-70-1P**, 7-(3-Bromophenyl)sancycline **380435-72-3P**, 7-(3-Iodophenyl)sancycline **380435-73-4P**, 7-(4-Bromophenyl)sancycline **380435-74-5P**, 7-(4-Iodophenyl)sancycline **380435-75-6P**, 7-(4-Trichloromethylphenyl)sancycline **380435-76-7P**, 7-(4-Trifluoromethylphenyl)sancycline **380435-77-8P**, 7-(4-Tribromomethylphenyl)sancycline **380435-78-9P**, 7-(4-Triiodomethylphenyl)sancycline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



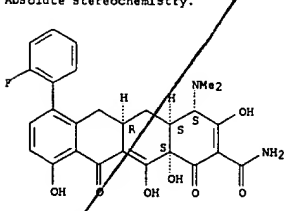
RN 330627-26-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-64-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(2-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

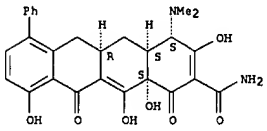


RN 380435-65-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-,

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
(4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

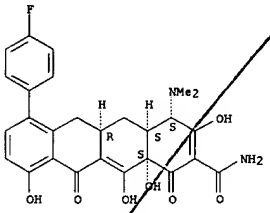
RN 263760-96-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263760-98-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

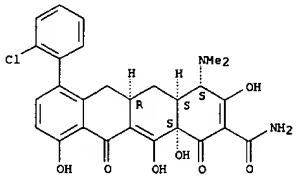


RN 263760-99-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

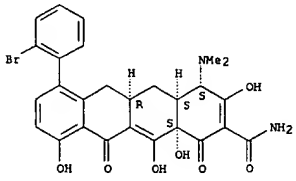
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
(4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



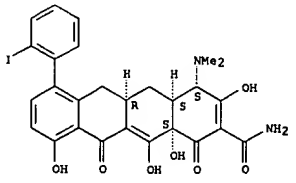
RN 380435-66-5 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



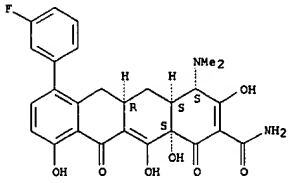
RN 380435-67-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(2-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



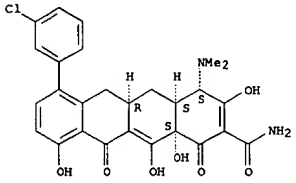
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 380435-68-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(3-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-69-8 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

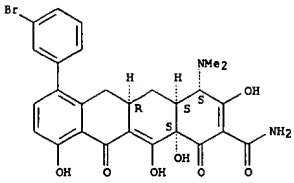
Absolute stereochemistry.



RN 380435-70-1 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

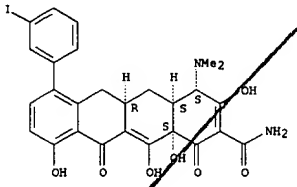
Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-72-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

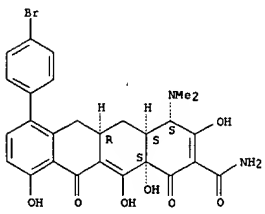
Absolute stereochemistry.



RN 380435-73-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

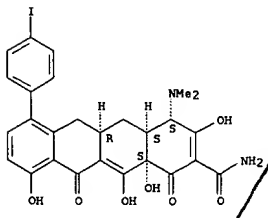
Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-74-5 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

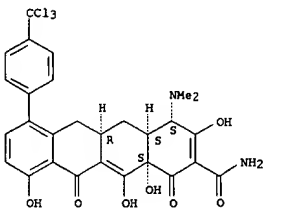
Absolute stereochemistry.



RN 380435-75-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trichloromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

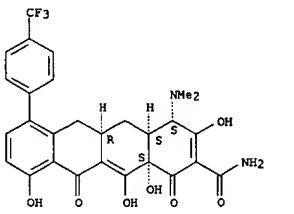
Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-76-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trifluoromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

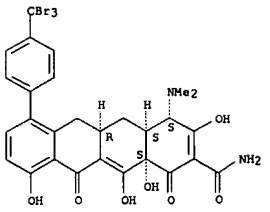
Absolute stereochemistry.



RN 380435-77-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(tribromomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

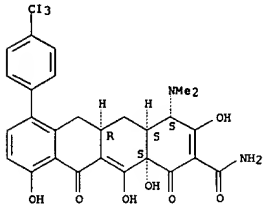
Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-78-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(triiodomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:935545 CAPLUS
DOCUMENT NUMBER: 136:37446
TITLE: 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states
INVENTOR(S): Nelson, Mark; Ismail, Mohamed Y.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098236	A2	20011227	WO 2001-US19286	20010615
WO 2001098236	A3	20020328		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-212030P P 20000616
US 2000-212471P P 20000616
OTHER SOURCE(S): MARPAT 136:37446

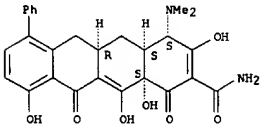
AB 7-Phenyl-substituted tetracycline compds. of formula I [R1, R2 = alkyl; R3 = H, OH or prodrug moiety; R4, R5 = independently H, OH, alkyl, or, taken together, alkenyl; R6 = (substituted)phenyl] which are substantially free of positional isomers, are prepd. Thus, 7-(3-nitrophenyl)sanclycine (II) was produced from sanclycine through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with 3-nitrophenylboronic acid in a combined 32% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of tetracycline compds. against common bacteria (no data) is described. Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

IT **263760-96-9P 263760-98-1P 263760-99-2P**
263761-02-0P 330627-26-4P 380435-62-1P
380435-63-2P 380435-64-3P 380435-65-4P
380435-66-5P 380435-67-6P 380435-68-7P
380435-69-8P 380435-70-1P 380435-72-3P
380435-73-4P 380435-74-5P 380435-75-6P
380435-76-7P 380435-77-8P 380435-78-9P
380435-79-0P 380435-80-3P 380435-81-4P
380435-82-5P 380435-83-6P 380435-84-7P
380435-85-8P 380435-86-9P 380435-87-0P
380435-88-1P 380435-89-2P 380435-91-6P
380435-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(7-phenyl-substituted tetracycline compds. and methods of treating

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
tetracycline responsive states)

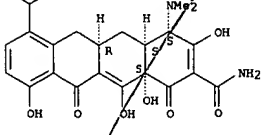
RN 263760-96-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263760-98-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

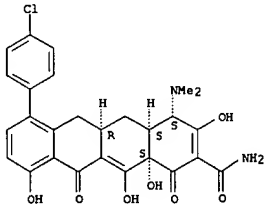
Absolute stereochemistry.



RN 263760-99-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

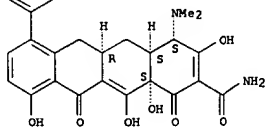
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



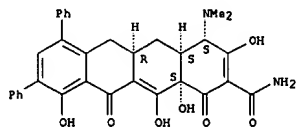
RN 263761-02-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



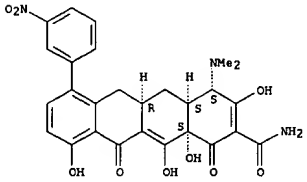
RN 330627-26-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



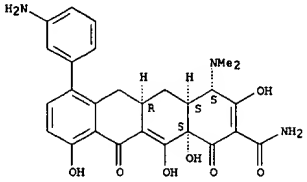
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 380435-62-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-63-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



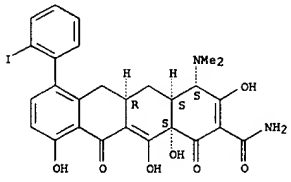
RN 380435-64-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(2-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)

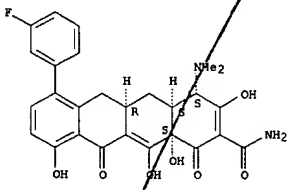
RN 380435-67-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(2-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-68-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(3-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

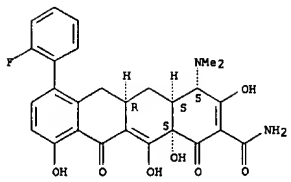
Absolute stereochemistry.



RN 380435-69-8 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

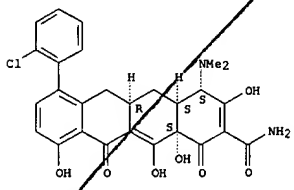
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



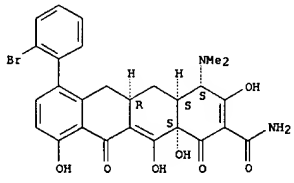
RN 380435-65-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

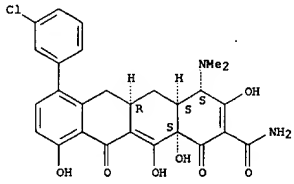


RN 380435-66-5 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

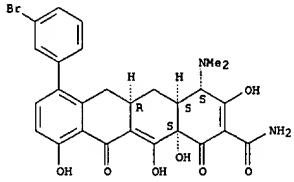


L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



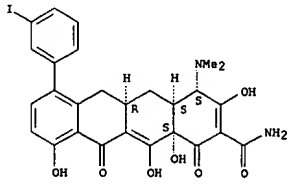
RN 380435-70-1 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(3-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



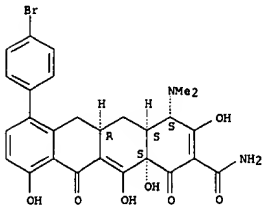
RN 380435-72-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(3-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



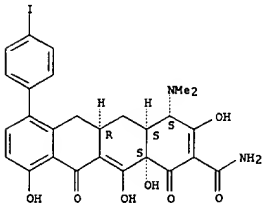
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 380435-73-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-bromophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-74-5 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-iodophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

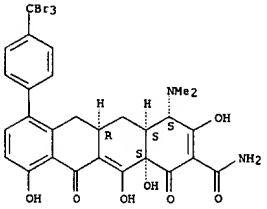
Absolute stereochemistry.



RN 380435-75-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trichloromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

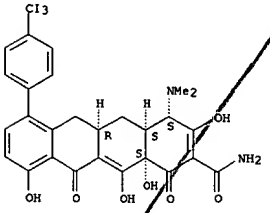
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-78-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(triiodomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

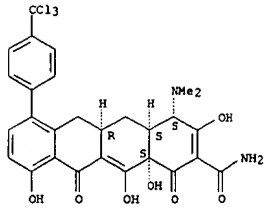
Absolute stereochemistry.



RN 380435-79-0 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(2-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

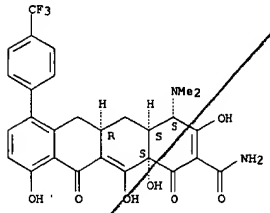
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-76-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(trifluoromethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

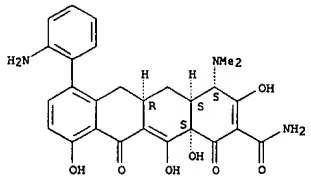
Absolute stereochemistry.



RN 380435-77-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-[4-(tribromomethyl)phenyl]-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

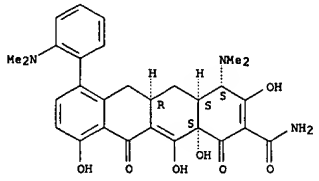
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



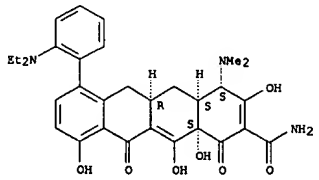
RN 380435-80-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[2-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-81-4 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[2-(diethylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

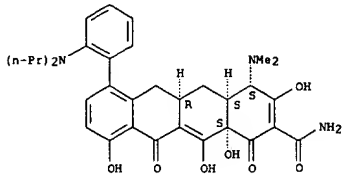
Absolute stereochemistry.



RN 380435-82-5 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[2-(dipropylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-,

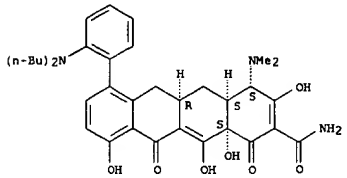
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
(4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380435-83-6 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[2-(dibutylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

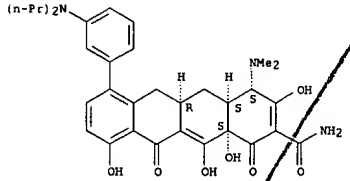
Absolute stereochemistry.



RN 380435-84-7 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[3-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

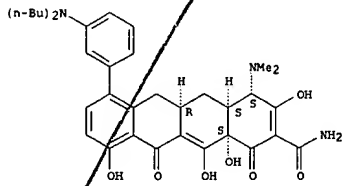
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-87-0 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[3-(dibutylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

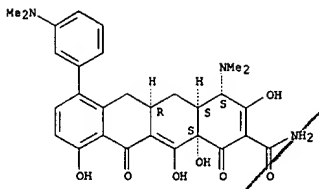
Absolute stereochemistry.



RN 380435-88-1 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-aminophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

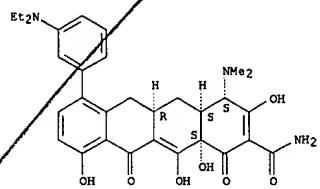
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-85-8 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[3-(diethylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

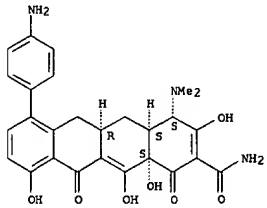
Absolute stereochemistry.



RN 380435-86-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[3-(dipropylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

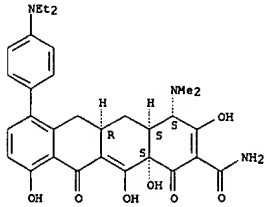
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-89-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[4-(diethylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

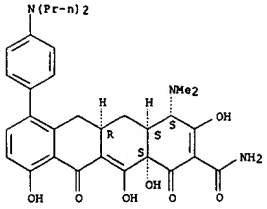
Absolute stereochemistry.



RN 380435-91-6 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dipropylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

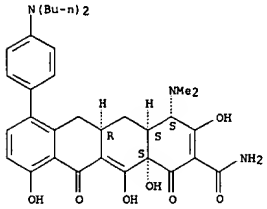
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-92-7 CAPLUS
CN 2-Naphthacenecarboxamide, 7-[4-(dibutylamino)phenyl]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

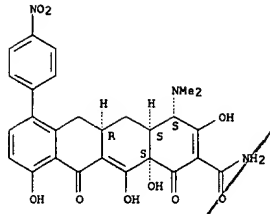
Absolute stereochemistry.



IT **263761-01-9 380435-93-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states)
RN 263761-01-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

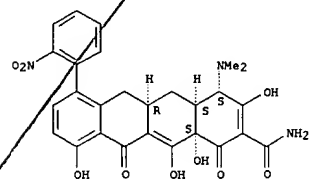
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 380435-93-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(2-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2002 ACS

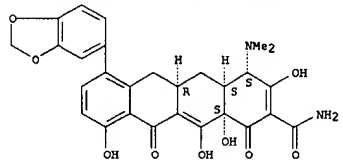
ACCESSION NUMBER: 2001:851102 CAPLUS
DOCUMENT NUMBER: 136:5854
TITLE: Preparation of 7-substituted fused ring tetracycline compounds as antibiotics
INVENTOR(S): Nelson, Mark L.; McIntyre, Laura
PATENT ASSIGNEE(S): Trustees of Tufts College, USA; Paratek Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087824	A2	20011122	WO 2001-US15068	20010510
WO 2001087824	A3	20020411		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
WO 2001098260	A1	20011227	WO 2000-US16672	20000616
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002045602	A1	20020418	US 2001-852908	20010510

PRIORITY APPLN. INFO.: US 2000-204158P P 20000515
OTHER SOURCE(S): MARPAT 136:5854
AB The 7-substituted tetracycline derivs. I (R1 = H, OH, prodrug moiety; R2, R3 = alkyl; R4, R5 = H, OH, alkyl, R4R5 = alkenyl; Y, Y1 = C, N, O, S; X = CH2, CH2CH2) were prepd. as antibacterial agents. Thus, 7-(3,4-methylenedioxyphenyl)sancycline was prepd. from 7-iodosancycline (prepn. given) and 3,4-methylenedioxyphenylboronic acid. 7-(3,4-Methylenedioxyphenyl)sancycline showed good inhibition of Escherichia coli at 0.098 .mu.g/mL.
IT **374748-06-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7-substituted fused ring tetracycline compds. as antibiotics)
RN 374748-06-8 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(1,3-benzodioxol-5-yl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



=> d ibib ab hitstr

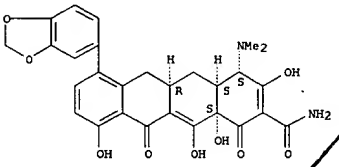
L5 ANSWER 1 OF 1 USPATFULL
ACCESSION NUMBER: 2002:85560 USPATFULL
TITLE: 7-substituted fused ring tetracycline compounds
INVENTOR(S): Nelson, Mark L., Wellesley, MA, UNITED STATES
McIntyre, Laura, Cambridge, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002045602	A1	20020418
APPLICATION INFO.:	US 2001-852908	A1	20010510 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-204158P	20000515 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 959
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB 7-substituted fused ring tetracycline compounds, methods of treating tetracycline responsive states, and pharmaceutical compositions containing the 7-substituted fused ring tetracycline compounds are described.
IT **374748-06-8P**
(prepn. of 7-substituted fused ring tetracycline compds. as antibiotics)
RN 374748-06-8 USPATFULL
CN 2-Naphthacenecarboxamide, 7-(1,3-benzodioxol-5-yl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib ab fqhit 1-6

L6 ANSWER 1 OF 6 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:102232 MARPAT
TITLE: Preparation of 7-substituted tetracycline derivatives for pharmaceutical use as antibacterial agents
INVENTOR(S): Nelson, Mark L.; Frechette, Roger; Viski, Peter; Ismail, Mohamed; Bowser, Todd; Bhatia, Beena; Messersmith, David; McIntyre, Laura; Koza, Darrell; Rennie, Glen; Sheahan, Paul; Hawkins, Paul; Verma, Atul; Warchol, Tad; Bandarage, Upul
PATENT ASSIGNEE(S): Trustees of Tufts College, USA; Paratek Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

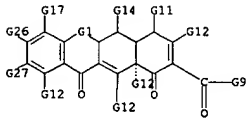
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004407	A2	20020117	WO 2001-US20766	20010629
WO 2002004407	A3	20020404		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-216760P 20000707
US 2001-275576P 20010313

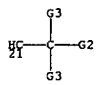
AB 7-Substituted tetracycline derivs., such as I [R7 = NO2, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, amino, arylalkenyl, arylalkynyl, aminoalkyl, etc.], were prepd. for therapeutic use as antibacterial agents. Thus, 7-phenylsancycline I (R7 = Ph) was prepd. in 42% yield by arom. coupling reaction of 7-iodosancycline I (R7 = iodo) with PhB(OH)2 using Pd(OAc)2 and Na2CO3 in MeOH under an argon atm. at r.t. for 2 h. The prepd. tetracycline derivs. were tested for antibacterial activity against Escherichia coli, Enterococcus hirae, and Staphylococcus aureus.

MSTR 1



G1 = 21

L6 ANSWER 1 OF 6 MARPAT COPYRIGHT 2002 ACS (Continued)



G9 = NH2
G10 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO (1-) G6)
G11 = 51

G12 = OH
G17 = Ph (SO (1-) G29)
MPL: claim 1
NTE: and pharmaceutically acceptable salts

L6 ANSWER 2 OF 6 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:102229 MARPAT
TITLE: Preparation of 7,8 and 9-substituted tetracycline derivatives
INVENTOR(S): Nelson, Mark L.; Koza, Darrell
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004404	A2	20020117	WO 2001-US20558	20010629
WO 2002004404	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2002012170	A1	20020214	WO 2000-US21366	20000804

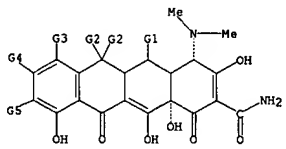
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-216656P 20000707
WO 2000-US21366 20000804

AB The 7,8 and 9-substituted tetracycline derivs. I (R1 = H, OH; R2, R3 = H, Me, OH; R4 = H, alkenyl, alkynyl, Ph, halophenyl, acyl, phenylalkynyl, heteroaryl, dimethylamino; R5 = H, Ph, nitrophenyl, halo, alkynyl; R6 = H, amino, acetamide, alkynyl; at least one of R4, R5, and R6 is not H) and their pharmaceutically acceptable salts were as antibacterial agents. Thus, tetracycline underwent iodination with NIS to give a mixt. of 7- and 9-iodotetracycline, of which the 7- isomer was treated AsPh3 in presence of Pd(PPh3)2Cl2 and CuI to give 7-phenyltetracycline. I were screened to detn. their in vitro antibacterial min. inhibitory concn. (no data).

MSTR 1

L6 ANSWER 2 OF 6 MARPAT COPYRIGHT 2002 ACS (Continued)



G3 = Ph (SO (1-) G6)
MPL: claim 1
NTE: and pharmaceutically acceptable salts

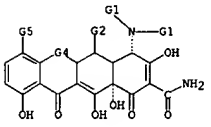
L6 ANSWER 3 OF 6 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:53633 MARPAT
TITLE: Preparation of 7-phenyl-substituted tetracycline compounds and methods of treating tetracycline responsive states
INVENTOR(S): Nelson, Mark; Rennie, Glen
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098259	A1	20011227	WO 2000-US16632	20000616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-154701P 19990914
AB 7-Phenyl-substituted tetracycline compds., such as I [R4, R4' = alkyl; R5 = H, OH or prodrug moiety; R6, R6' = independently H, OH, alkyl, or taken together, alkenyl; R7 = (substituted)phenyl], and pharmaceutically acceptable salts thereof, are prepd. Thus, 7-phenylsancycline I (R4, R4' = Me; R5, R6, R6' = H; R7 = Ph) was produced from sancycline I (R4, R4' = Me; R5, R6, R6', R7 = H) through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with phenylboronic acid in a combined 42% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of I against common bacteria is described (no data). Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

MSTR 1



G1 = Me
G4 = 80

L6 ANSWER 3 OF 6 MARPAT COPYRIGHT 2002 ACS (Continued)

G5 = Ph (SO (1-) G6)
MPL: claim 1
NTE: and pharmaceutically acceptable salts

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

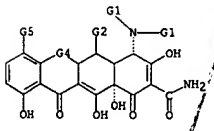
L6 ANSWER 4 OF 6 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:37446 MARPAT
TITLE: 7-phenyl-substituted tetracycline compds. and methods of treating tetracycline responsive states
INVENTOR(S): Nelson, Mark; Ismail, Mohamed Y.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098236	A2	20011227	WO 2001-US19286	20010615
WO 2001098236	A3	20020328		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-212030P 20000616
US 2000-212471P 20000616
AB 7-Phenyl-substituted tetracycline compds. of formula I [R1, R2 = alkyl; R3 = H, OH or prodrug moiety; R4, R5 = independently H, OH, alkyl, or, taken together, alkenyl; R6 = (substituted)phenyl] which are substantially free of positional isomers, are prepd. Thus, 7-(3-nitrophenyl)sanclycine (II) was produced from sanclycine through iodination at the 7-position with N-iodosuccinimide followed by palladium catalyzed coupling with 3-nitrophenylboronic acid in a combined 32% yield. An in vitro min. inhibitory concn. (MIC) assay used to det. the efficacy of tetracycline compds. against common bacteria (no data) is described. Addnl., methods of treating tetracycline responsive states, and pharmaceutical compns. contg. the 7-phenyl-substituted tetracycline compds are also described.

MSTR 1



G1 = Me
G4 = 80

L6 ANSWER 4 OF 6 MARPAT COPYRIGHT 2002 ACS (Continued)

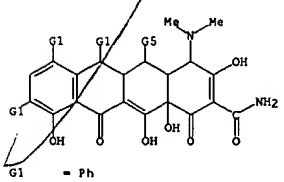
G5 = Ph (SO (1-) G6)
MPL: claim 1
NTE: and pharmaceutically acceptable salts

L6 ANSWER 5 OF 6 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:5854 MARPAT
TITLE: Preparation of 7-substituted fused ring tetracycline compounds as antibiotics
INVENTOR(S): Nelson, Mark L.; McIntyre, Laura
PATENT ASSIGNEE(S): Trustees of Tufts College, USA; Paratek Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087824	A2	20011122	WO 2001-US15068	20010510
WO 2001087824	A3	20020411		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001098260	A1	20011227	WO 2000-US16672	20000616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002045602	A1	20020418	US 2001-852908	20010510
PRIORITY APPLN. INFO.:			US 2000-204158P	20000515

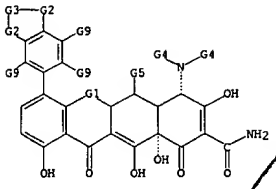
AB The 7-substituted tetracycline derivs. I (R1 = H, OH, prodrug moiety; R2, R3 = alkyl; R4, R5 = H, OH, alkyl, R4R5 = alkenyl; Y, Y1 = C, N, O, S; X = CH2, CH2CH2) were prepd. as antibacterial agents. Thus, 7-(3,4-methylenedioxyphenyl)sancycline was prepd. from 7-iodosancycline (prepn. given) and 3,4-methylenedioxyphenylboronic acid. 7-(3,4-Methylenedioxyphenyl)sancycline showed good inhibition of Escherichia coli at 0.098 .mu.g/mL.

MSTR 1



G1 = Ph

L6 ANSWER 5 OF 6 MARPAT COPYRIGHT 2002 ACS (Continued)



G1 = 45

G4 = Me
MPL: claim 1
NTE: and pharmaceutically acceptable salts

L6 ANSWER 6 OF 6 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:252206 MARPAT
TITLE: Methods of preparing substituted tetracyclines with transition metal-based chemistries
INVENTOR(S): Nelson, Mark L.; Rennie, Glen; Koza, Darrell J.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019784	A1	20010322	WO 2000-US25040	20000913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1999-154701P	19990914
			US 2000-232091P	20000912
OTHER SOURCE(S):			CASREACT 134:252206	

AB Substituted tetracyclinederivs. were prepd. by combining a reactive tetracycline-based precursor and a reactive org. substituent precursor in the presence of a transition metal catalyst. In one embodiment of the invention, a substituted tetracycline compd. may be prepd. by combining a reactive tetracycline-based precursor compd. such as an arene tetracycline diazonium salt, and a reactive org. substituent precursor, e.g., alkenes, substituted alkenes, vinyl monomers, aroms. and heteroaroms., in the presence of a transition metal catalyst, such as palladium chloride, under conditions such that a tetracycline compd. substituted with the org. substituent is formed. Such compds. may optionally act as intermediates for making other compds., e.g., hydrogenation of unsatd. groups on the substituent. Thus, sancycline-HCl was treated with N-iodosuccinimide in concd. H2SO4 to give 61% 7-iodosancycline and 22% 7,9-diodosancycline. 7-Iodosancycline was added to a degassed soln. of MeOH contg. Na2CO3 and Pd(OAc)2 and then 4-chlorophenylbroonic added to give 7-(4-chlorophenyl)sancycline (I). Antibacterial activity of several derivs. was tabulated.

MSTR 1

G1 = Ph

L6 ANSWER 6 OF 6 MARPAT COPYRIGHT 2002 ACS (Continued)
MPL: disclosure

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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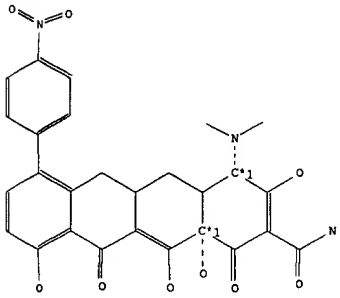
L8 ANSWER 1 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8601328
Chemical Name (CN):	7-(4-nitrophenyl)sancycline
Autonom Name (AUN):	4-dimethylamino-3,10,12,12a-tetrahydroxy-7-(4-nitro-phenyl)-1,11-dioxo-1,4,4a,5,5a,6,11,12a-octahydro-naphthacene-2-carboxylic acid amide
Molec. Formula (MF):	C27 H25 N3 O9
Molecular Weight (MW):	535.51
Lawson Number (LN):	16311, 2817
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	7289045
Tautomer ID (TAUTID):	8099158
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



Atom/Bond Notes:
1. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1

L8 ANSWER 1 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:
RX

Reaction ID:	8565125
Reactant BRN:	8597075, 3978722
Reactant:	7-iodosancycline, tributyl-(4-nitro-phenyl)-stannane
Product BRN:	8601328
Product:	7-(4-nitrophenyl)sancycline
No. of Reaction Details:	1

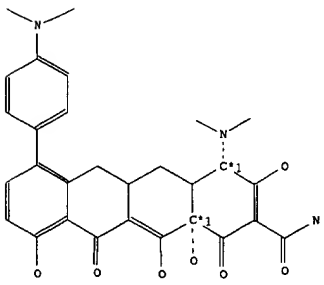
Reaction Details:
RX

Reaction RID:	8565125.1
Reaction Classification:	Preparation
Yield:	83 percent (BRN=8601328)
Reagent:	CuI
Catalyst:	Pd(PPh3)2Cl2
Reaction Type:	Suzuki and Stille cross coupling

Reference(s):
1. Koza, Darrell J., Org.Lett., CODEN: ORLEF7, 2(6), <2000>, 815 - 818; BABS-6238420

L8 ANSWER 2 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8601284
Chemical Name (CN):	7-(4-dimethylaminophenyl)sancycline
Autonom Name (AUN):	4-dimethylamino-7-(4-dimethylamino-phenyl)-3,10,12,12a-tetrahydroxy-1,11-dioxo-1,4,4a,5,5a,6,11,12a-octahydro-naphthacene-2-carboxylic acid amide
Molec. Formula (MF):	C29 H31 N3 O7
Molecular Weight (MW):	533.58
Lawson Number (LN):	16311, 2817
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	7288528
Tautomer ID (TAUTID):	8096042
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



Atom/Bond Notes:
1. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

L8 ANSWER 2 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:
RX

Reaction ID:	8560228
Reactant BRN:	8597075, 3118297
Reactant:	7-iodosancycline, (4-dimethylamino-phenyl)-boronic acid
Product BRN:	8601284
Product:	7-(4-dimethylaminophenyl)sancycline
No. of Reaction Details:	1

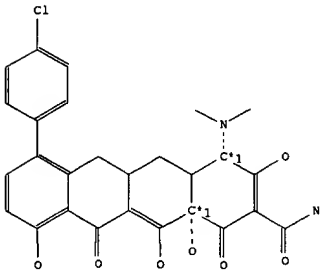
Reaction Details:
RX

Reaction RID:	8560228.1
Reaction Classification:	Preparation
Yield:	28 percent (BRN=8601284)
Reagent:	CuI
Catalyst:	Pd(PPh3)2Cl2
Reaction Type:	Suzuki and Stille cross coupling

Reference(s):
1. Koza, Darrell J., Org.Lett., CODEN: ORLEF7, 2(6), <2000>, 815 - 818; BABS-6238420

L8 ANSWER 3 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN): 8600919
Chemical Name (CN): 7-(4-chlorophenyl)sancycline
Autonom Name (AUN): 7-(4-chloro-phenyl)-4-dimethylamino-3,10,12,12a-tetrahydroxy-1,11-dioxo-1,4,4a,5,5a,6,11,12a-octahydro-naphthacene-2-carboxylic acid amide
Molec. Formula (MF): C27 H25 Cl N2 O7
Molecular Weight (MW): 524.96
Lawson Number (LN): 16311, 2817
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 7288195
Tautomer ID (TAUTID): 8095683
Entry Date (DED): 2000/10/24
Update Date (DUPD): 2000/10/24



Atom/Bond Notes:
1. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1

L8 ANSWER 3 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

TAUTID Tautomer ID 1
ED Entry Date 1
UPD Update Date 1

This substance also occurs in Reaction Documents:

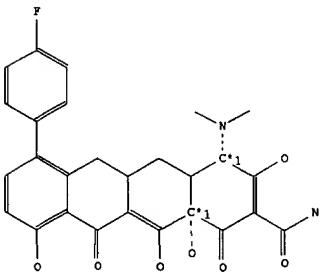
Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:
RX
Reaction ID: 8559854
Reactant BRN: 8597075, 2936346
Reactant: 7-iodosancycline, (4-chloro-phenyl)-boronic acid
Product BRN: 8600919
Product: 7-(4-chlorophenyl)sancycline
No. of Reaction Details: 1

Reaction Details:
RX
Reaction RID: 8559854.1
Reaction Classification: Preparation
Yield: 42 percent (BRN=8600919)
Reagent: CuI
Catalyst: Pd(PPh3)2Cl2
Reaction Type: Suzuki and Stille cross coupling
Reference(s):
1. Koza, Darrell J., Org.Lett., CODEN: ORLEF7, 2(6), <2000>, 815 - 818; BABS-6238420

L8 ANSWER 4 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN): 8600746
Chemical Name (CN): 7-(4-fluorophenyl)sancycline
Autonom Name (AUN): 4-dimethylamino-7-(4-fluoro-phenyl)-3,10,12,12a-tetrahydroxy-1,11-dioxo-1,4,4a,5,5a,6,11,12a-octahydro-naphthacene-2-carboxylic acid amide
Molec. Formula (MF): C27 H25 F N2 O7
Molecular Weight (MW): 508.50
Lawson Number (LN): 16311, 2817
File Segment (FS): Stereo compound
Compound Type (CTYPE): isocyclic
Constitution ID (CONSID): 7288071
Tautomer ID (TAUTID): 8095796
Entry Date (DED): 2000/10/24
Update Date (DUPD): 2000/10/24



Atom/Bond Notes:
1. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

L8 ANSWER 4 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

This substance also occurs in Reaction Documents:

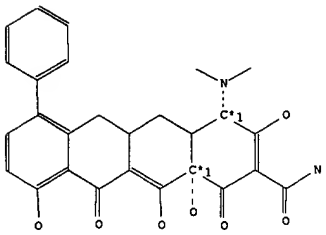
Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:
RX
Reaction ID: 8562281
Reactant BRN: 8597075, 3612877
Reactant: 7-iodosancycline, tributyl-(4-fluoro-phenyl)-stannane
Product BRN: 8600746
Product: 7-(4-fluorophenyl)sancycline
No. of Reaction Details: 1

Reaction Details:
RX
Reaction RID: 8562281.1
Reaction Classification: Preparation
Yield: 91 percent (BRN=8600746)
Reagent: CuI
Catalyst: Pd(PPh3)2Cl2
Reaction Type: Suzuki and Stille cross coupling
Reference(s):
1. Koza, Darrell J., Org.Lett., CODEN: ORLEF7, 2(6), <2000>, 815 - 818; BABS-6238420

L8 ANSWER 5 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	8598678
Chemical Name (CN):	7-phenylsancycline
Molec. Formula (MF):	C27 H26 N2 O7
Molecular Weight (MW):	490.51
Lawson Number (LN):	16310, 2817
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	7286775
Tautomer ID (TAUTID):	8094947
Entry Date (DED):	2000/10/24
Update Date (DUPD):	2000/10/24



Atom/Bond Notes:
1. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

L8 ANSWER 5 OF 5 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

Code	Name	Occurrence
RX	Reaction Documents	2
RXPRO	Substance is Reaction Product	2

Reaction:
RX

Reaction ID:	8606477
Reactant BRN:	8597075, 970972
Reactant:	7-iodosancycline, phenylboronic acid
Product BRN:	8598678
Product:	7-phenylsancycline
No. of Reaction Details:	1

Reaction Details:
RX

Reaction RID:	8606477.1
Reaction Classification:	Preparation
Yield:	67 percent (BRN=8598678)
Catalyst:	Pd(OAc)2
Solvent:	methanol
Reaction Type:	Suzuki and Stille cross coupling
Reference(s):	

1. Koza, Darrell J., Org.Lett., CODEN: ORLEF7, 2(6), <2000>, 815 - 818; BABS-6238420

Reaction:
RX

Reaction ID:	8562258
Reactant BRN:	8597075, 3610571
Reactant:	7-iodosancycline, tributyl-phenyl stannane
Product BRN:	8598678
Product:	7-phenylsancycline
No. of Reaction Details:	1

Reaction Details:
RX

Reaction RID:	8562258.1
Reaction Classification:	Preparation
Yield:	87 percent (BRN=8598678)
Reagent:	CuI
Catalyst:	Pd(PPh3)2Cl2
Reaction Type:	Suzuki and Stille cross coupling
Reference(s):	

1. Koza, Darrell J., Org.Lett., CODEN: ORLEF7, 2(6), <2000>, 815 - 818; BABS-6238420

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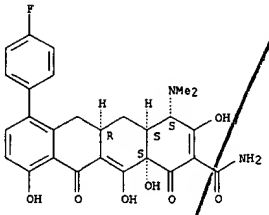
L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:208235 CAPLUS
DOCUMENT NUMBER: 134:252206
TITLE: Methods of preparing substituted tetracyclines with transition metal-based chemistries
INVENTOR(S): Nelson, Mark L.; Rennie, Glen; Koza, Darrell J.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019784	A1	20010322	WO 2000-US25040	20000913

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

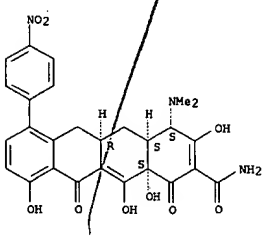
PRIORITY APPLN. INFO.: US 1999-154701P P 19990914
US 2000-232091P P 20000912
OTHER SOURCE(S): CASREACT 134:252206; MARPAT 134:252206
AB Substituted tetracyclinrderivs. were prepd. by combining a reactive tetracycline-based precursor and a reactive org. substituent precursor in the presence of a transition metal catalyst. In one embodiment of the invention, a substituted tetracycline compd. may be prepd. by combining a reactive tetracycline-based precursor compd. such as an arene tetracycline diazonium salt, and a reactive org. substituent precursor, e.g., alkenes, substituted alkenes, vinyl monomers, aroms. and heteroaroms., in the presence of a transition metal catalyst, such as palladium chloride, under conditions such that a tetracycline compd. substituted with the org. substituent is formed. Such compds. may optionally act as intermediates for making other compds., e.g., hydrogenation of unsatd. groups on the substituent. Thus, sancycline-HCl was treated with N-iodosuccinimide in concd. H2SO4 to give 61% 7-iodosancycline and 22% 7,9-diiodosancycline. 7-Iodosancycline was added to a degassed soln. of MeOH contg. Na2CO3 and Pd(OAc)2 and then 4-chlorophenylbroonic added to give 7-(4-chlorophenyl)sancycline (I). Antibacterial activity of several derivs. was tabulated.
IT **263760-99-2P 330627-26-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(methods of prepg. substituted tetracyclines with transition metal-based chemistries)
RN 263760-99-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



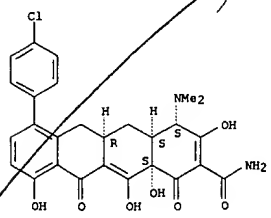
RN 263761-01-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



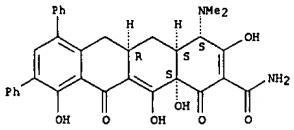
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry.



RN 330627-26-4 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7,9-diphenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

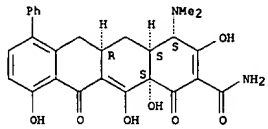


IT **263760-98-1P 263761-01-9P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(methods of prepg. substituted tetracyclines with transition metal-based chemistries)
RN 263760-98-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:137899 CAPLUS
DOCUMENT NUMBER: 132:279036
TITLE: Synthesis of 7-Substituted Tetracycline Derivatives
AUTHOR(S): Koza, Darrell J.
CORPORATE SOURCE: Department of Science and Allied Health, Mount Ida College, Newton, MA, 02459, USA
SOURCE: Organic Letters (2000), 2(6), 815-817
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of 7-substituted tetracycline derivs. has been accomplished in high yield from 7-halotetracyclines by modified Suzuki and Stille coupling protocols. These novel derivs. may serve as a new class of tetracycline antibiotics effective against multi-antibiotic-resistant bacteria.
IT **263760-96-9P 263760-98-1P 263760-99-2P 263761-01-9P 263761-02-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 7-substituted tetracycline derivs.)
RN 263760-96-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-7-phenyl-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

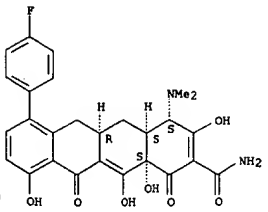
Absolute stereochemistry.



RN 263760-98-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-(4-fluorophenyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

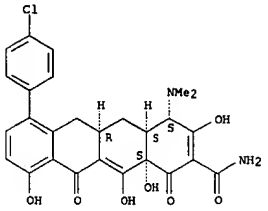
Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 263760-99-2 CAPLUS
CN 2-Naphthacenecarboxamide, 7-(4-chlorophenyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

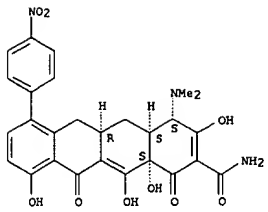
Absolute stereochemistry.



RN 263761-01-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-(4-nitrophenyl)-1,11-dioxo-, (4S,4aS,5aR,12aS)-(9CI) (CA INDEX NAME)

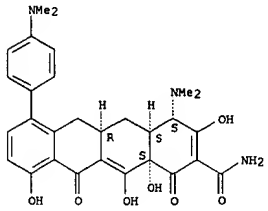
Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 263761-02-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-7-[4-(dimethylamino)phenyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 1 OF 1 SCISEARCH COPYRIGHT 2002 ISI (R)
AN 2000:239123 SCISEARCH
GA The Genuine Article (R) Number: 295RT
TI Synthesis of 7-substituted tetracycline derivatives
AU **Koza D J (Reprint)**
CS MT IDA COLL, DEPT SCI & ALLIED HLTH, 777 DEDHAM ST, NEWTON, MA 02459 (Reprint)
CYA USA
SO ORGANIC LETTERS, (**23 MAR 2000**) Vol. **2**, No. 6, pp. **815-817**.
Publisher: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036.
ISSN: 1523-7060.
DT Article; Journal
FS PHYS
LA English
REC Reference Count: 15
AB The synthesis of 7-substituted tetracycline derivatives has been accomplished in high yield from 7-halotetracyclines by modified Suzuki and Stille coupling protocols. These novel derivatives may serve as a new class of tetracycline antibiotics effective against multi-antibiotic-resistant bacteria.
CC CHEMISTRY, ORGANIC
RE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)
BEEREBOOM J J	1960	82	1003	J AM CHEM SOC
BOOTHE J H	1960	82	1253	J AM CHEM SOC
BROSCHARD R W	1949	109	199	SCIENCE
BROWN A G	1992		123	J CHEM SOC PERK T 1
BUCHWALD S L	1998	120	9722	J AM CHEM SOC
FINLAY A C	1950	111	85	SCIENCE
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MCCORMICK J R D	1953		81	ANTIBIOT ANNU
MITSCHER L A	1978	9		CHEM TETRACYCLINE AN
NICOLAOU K C	1998	39	7665	TETRAHEDRON LETT
PATTENDEN G	1993		215	SYNLETT
PETISI J	1962	5	538	J MED CHEM
REDIN G S	1966		371	ANTIMICROB AGENTS CH
STILLE J K	1986	25	508	ANGEW CHEM INT EDIT
VANHONWELING C D	1969		21	PAPERS

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